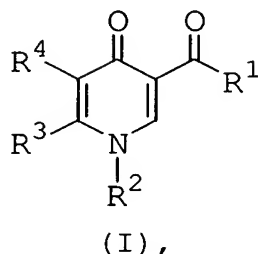


WHAT IS CLAIMED IS:

1. A compound having formula (I),



(I),

5 or a salt thereof, in which

R¹ is -OH, -OR⁵, -NH₂, -NHR⁵, or -N(R⁵)₂;

R² is hydrogen, tert-butyl, -O(allyl),

(4-methoxyphenyl)methyl, or (2,4-dimethoxyphenyl)methyl;

R³ and R⁴ together are thiazole or pyrimidine, each of

10 which is substituted with one or two independently selected
R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, -OR⁶, -O(CH₂)R⁷, -O(CH₂)R⁸, -OR⁹,
-O(CH₂)R¹⁰, -O(CH₂)R¹¹, -SR⁶, -S(CH₂)R⁷, -S(CH₂)R⁸, -SR⁹,
-S(CH₂)R¹⁰, -S(CH₂)R¹¹, -S(O)R⁶, -S(O)(CH₂)R⁷, -S(O)(CH₂)R⁸,
-S(O)R⁹, -S(O)(CH₂)R¹⁰, -S(O)(CH₂)R¹¹, -SO₂R⁶, -SO₂(CH₂)R⁷,
15 -SO₂(CH₂)R⁸, -SO₂R⁹, -SO₂(CH₂)R¹⁰, -SO₂(CH₂)R¹¹, -CO(O)R⁶,
-C(O)OR⁷, -C(O)OR⁸, -CO(O)R⁹, -C(O)OR¹⁰, -C(O)OR¹¹, -NH₂,
-NHR⁶, -NHR⁷, -NHR⁸, -NHR⁹, -NHR¹⁰, -NHR¹¹, -NHC(O)R⁶,
-NHC(O)R⁷, -NHC(O)R⁸, -NHC(O)R⁹, -NHC(O)R¹⁰, -NHC(O)R¹¹,
-NHC(O)OR⁶, -NHC(O)OR⁷, -NHC(O)OR⁸, -NHC(O)OR⁹, -NHC(O)OR¹⁰,
20 -NHC(O)OR¹¹, -NHSO₂R⁶, -NHSO₂R⁷, -NHSO₂R⁸, -NHSO₂R⁹, -NHSO₂R¹⁰,
-NHSO₂R¹¹, -N(R⁶)₂, -N(R⁷)₂, -N(R⁸)₂, -N(R⁹)₂, -N(R¹⁰)₂, or
-N(R¹¹)₂ substituents;

R⁵ is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl;

25 R⁶ is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl, each of which is unsubstituted or substituted

with one -F, -Cl, -Br, -I, -OH, -OR^{6a}, -NH₂, -NHR^{6a}, -N(R^{6a})₂, R¹², R¹³, or R¹⁴ substituent;

R^{6a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{6b};

5 R^{6b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{6c}, -NH₂, -NHR^{6c}, -N(R^{6c})₂, or R^{6d} substituents;

10 R^{6c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R^{6d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl;

15 R⁷ is C₂-alkenyl, C₃-alkenyl, C₄-alkenyl, C₅-alkenyl, or C₆-alkenyl, each of which is unsubstituted or substituted with one -F, -Cl, -Br, -I, -OH, -OR^{7a}, -NH₂, -NHR^{7a}, -N(R^{7a})₂, R¹², R¹³, or R¹⁴ substituent;

20 R^{7a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, or R^{7b};

R^{7b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{7c}, -NH₂, -NHR^{7c}, -N(R^{7c})₂, or R^{7d} substituents;

25 R^{7c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

30 R^{7d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl;

R^8 is C_2 -alkynyl, C_3 -alkynyl, C_4 -alkynyl, C_5 -alkynyl, or C_6 -alkynyl, each of which is unsubstituted or substituted with one -F, -Cl, -Br, -I, -OH, $-OR^{8a}$, $-NH_2$, $-NHR^{8a}$, $-N(R^{8a})_2$, R^{12} , R^{13} , or R^{14} substituent;

5 R^{8a} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, C_6 -alkyl, or R^{8b} ;

R^{8b} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, or C_6 -alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, $-OR^{8c}$,
10 $-NH_2$, $-NHR^{8c}$, $-N(R^{8c})_2$, or R^{8d} substituents;

R^{8c} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, or C_6 -alkyl;

R^{8d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl,
15 pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl;

R^9 is phenyl which is unfused or fused with cyclopentane, cyclohexane, cyclopentene, cyclohexene, benzene, naphthylene, furan, imidazole, isothiazole, isoxazole, oxazole, pyrazine, pyrazole, pyridazine,
20 pyridine, pyrimidine, pyrrole, thiazole, or thiophene, in which each ring is unsubstituted or substituted with one or two or three or four independently selected R^{9a} , -F, -Cl, -Br, -I, -CN, -OH, $-OR^{9a}$, $-NH_2$, $-NHR^{9a}$, $-N(R^{9a})_2$, $-NO_2$, $-CF_3$,
25 $-OCF_3$, $-SR^{9a}$, $-S(O)R^{9a}$, $-SO_2R^{9a}$, $-C(O)R^{9a}$, $-C(O)OH$, $-C(O)OR^{9a}$, $-C(O)NH_2$, $-C(O)NHR^{9a}$, $-C(O)N(R^{9a})_2$, R^{15} , or R^{16} substituents;

R^{9a} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, C_6 -alkyl, or R^{9b} ;

R^{9b} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl,
30 or C_6 -alkyl, each of which is substituted with one or two

independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{9c}, -NH₂, -NHR^{9c}, -N(R^{9c})₂, or R^{9d} substituents;

R^{9c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

5 R^{9d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl;

R¹⁰ is furanyl, imidazolyl, isothiazolyl, isoxazolyl, 10 oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl, each of which is unfused or fused with benzene, naphthylene, furan, imidazole, isothiazole, isoxazole, oxazole, pyrazine, pyrazole, pyridazine, 15 pyridine, pyrimidine, pyrrole, thiazole, or thiophene, in which each ring is unsubstituted or substituted with one or two or three independently selected R^{10a}, -F, -Cl, -Br, -I, -CN, -OH, -OR^{10a}, -NH₂, -NHR^{10a}, -N(R^{10a})₂, -NO₂, -CF₃, -OCF₃, -SR^{10a}, -S(O)R^{10a}, -SO₂R^{10a}, -C(O)R^{10a}, -C(O)OH, -C(O)OR^{10a}, 20 -C(O)NH₂, -C(O)NHR^{10a}, -C(O)N(R^{10a})₂, R¹⁵, or R¹⁶ substituents;

R^{10a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, or R^{10b};

R^{10b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, 25 or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{10c}, -NH₂, -NHR^{10c}, -N(R^{10c})₂, or R^{10d} substituents;

R^{10c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

30 R^{10d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl,

pyridyl, pyrimidinyl, pyrrolyl, tetraäzoly, thiazoly, thiophenyl, or 1,2,3-triazoly;

R^{11} is aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, or piperazinyl, each of which is unfused or
5 fused with benzene, aziridine, azetidine, pyrrolidine, piperidine, or piperazine, in which each ring is unsubstituted or substituted with one or two or three independently selected R^{11a} , -F, -Cl, -Br, -I, -CN, -OH, =O, -OR^{11a}, -NH₂, -NHR^{11a}, -N(R^{11a})₂, -NO₂, -CF₃, -OCF₃, -SR^{11a},
10 -S(O)R^{11a}, -SO₂R^{11a}, -C(O)R^{11a}, -C(O)OH, -C(O)OR^{11a}, -C(O)NH₂, -C(O)NHR^{11a}, -C(O)N(R^{11a})₂, R^{15} , or R^{16} substituents;

R^{11a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{11b} ;

R^{11B} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
15 or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{11c}, -NH₂, -NHR^{11c}, -N(R^{11c})₂, or R^{11d} substituents;

R^{11c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

20 R^{11d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraäzoly, thiazolyl, thiophenyl, or 1,2,3-triazoly;

R^{12} is phenyl which is unfused or fused with
25 cyclopentane, cyclohexane, cyclopentene, cyclohexene, benzene, naphthylene, furan, imidazole, isothiazole, isoxazole, oxazole, pyrazine, pyrazole, pyridazine, pyridine, pyrimidine, pyrrole, thiazole, or thiophene, in which each ring is unsubstituted or substituted with one or
30 two or three or four independently selected R^{12a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{12a}, -NH₂, -NHR^{12a}, -N(R^{12a})₂, -NO₂,

-CF₃, -OCF₃, -SR^{12a}, -S(O)R^{12a}, -SO₂R^{12a}, -C(O)R^{12a}, -C(O)OH, -C(O)OR^{12a}, -C(O)NH₂, -C(O)NHR^{12a}, -C(O)N(R^{12a})₂, R¹⁵, or R¹⁶ substituents;

R^{12a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
5 C₆-alkyl, or R^{12b};

R^{12b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{12c}, -NH₂, -NHR^{12c}, -N(R^{12c})₂, or R^{12d} substituents;

10 R^{12c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R^{12d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl,
15 thiophenyl, or 1,2,3-triazolyl;

R¹³ is furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl, each of which is unfused or fused with
20 benzene, naphthylene, furan, imidazole, isothiazole, isoxazole, oxazole, pyrazine, pyrazole, pyridazine, pyridine, pyrimidine, pyrrole, thiazole, or thiophene, in which each ring is unsubstituted or substituted with one or two or three independently selected R^{13a}, -F, -Cl, -Br, -I, -CN, -OH, -OR^{13a}, -NH₂, -NHR^{13a}, -N(R^{13a})₂, -NO₂, -CF₃, -OCF₃, -SR^{13a}, -S(O)R^{13a}, -SO₂R^{13a}, -C(O)R^{13a}, -C(O)OH, -C(O)OR^{13a},
25 -C(O)NH₂, -C(O)NHR^{13a}, -C(O)N(R^{13a})₂, R¹⁵, or R¹⁶ substituents;

R^{13a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
30 C₆-alkyl, or R^{13b};

R^{13b} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, or C_6 -alkyl, each of which is substituted with one or two independently selected $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $=O$, $-OR^{13c}$, $-NH_2$, $-NHR^{13c}$, $-N(R^{13c})_2$, or R^{13d} substituents;

5 R^{13c} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, or C_6 -alkyl;

R^{13d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, 10 thiophenyl, or 1,2,3-triazolyl;

R^{14} is aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, or piperazinyl, each of which is unfused or fused with benzene, aziridine, azetidine, pyrrolidine, piperidine, or piperazine, in which each ring is 15 unsubstituted or substituted with one or two or three independently selected R^{14a} , $-F$, $-Cl$, $-Br$, $-I$, $-CN$, $-OH$, $=O$, $-OR^{14a}$, $-NH_2$, $-NHR^{14a}$, $-N(R^{14a})_2$, $-NO_2$, $-CF_3$, $-OCF_3$, $-SR^{14a}$, $-S(O)R^{14a}$, $-SO_2R^{14a}$, $-C(O)R^{14a}$, $-C(O)OH$, $-C(O)OR^{14a}$, $-C(O)NH_2$, $-C(O)NHR^{14a}$, $-C(O)N(R^{14a})_2$, R^{15} , or R^{16} substituents;

20 R^{14a} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, C_6 -alkyl, or R^{14b} ;

R^{14b} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, or C_6 -alkyl which is substituted with one or two independently selected $-F$, $-Cl$, $-Br$, $-I$, $-OH$, $=O$, $-OR^{14c}$, 25 $-NH_2$, $-NHR^{14c}$, $-N(R^{14c})_2$, or R^{14d} substituents;

R^{14c} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl, or C_6 -alkyl;

R^{14d} is phenyl, furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl,

pyridyl, pyrimidinyl, pyrrolyl, tetraäzoly, thiazoly, thiophenyl, or 1,2,3-triazoly;

R^{15} is phenyl which is unsubstituted or substituted with one or two or three or four independently selected
5 R^{15a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{15a}, -NH₂, -NHR^{15a},
-N(R^{15a})₂, -NO₂, -CF₃, -OCF₃, -SR^{15a}, -S(O)R^{15a}, -SO₂R^{15a},
-C(O)R^{15a}, -C(O)OH, -C(O)OR^{15a}, -C(O)NH₂, -C(O)NHR^{15a}, or
-C(O)N(R^{15a})₂ substituents;

R^{15a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
10 or C₆-alkyl, or R^{15b};

R^{15b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl, each of which is substituted with one or two
independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{15c},
-NH₂, -NHR^{15c}, -N(R^{15c})₂, or R^{15d} substituents;

15 R^{15c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl;

R^{15d} is phenyl, furanyl, imidazolyl, isothiazolyl,
isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl,
pyridyl, pyrimidinyl, pyrrolyl, tetraäzoly, thiazolyl,
20 thiophenyl, or 1,2,3-triazolyl;

R^{16} is furanyl, imidazolyl, isothiazolyl, isoxazolyl,
oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl,
pyrimidinyl, pyrrolyl, tetraäzoly, thiazolyl, thiophenyl,
or 1,2,3-triazolyl, each of which is unsubstituted or
25 substituted with one or two or three independently selected
 R^{16a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{16a}, -NH₂, -NHR^{16a},
-N(R^{16a})₂, -NO₂, -CF₃, -OCF₃, -SR^{16a}, -S(O)R^{16a}, -SO₂R^{16a},
-C(O)R^{16a}, -C(O)OH, -C(O)OR^{16a}, -C(O)NH₂, -C(O)NHR^{16a}, or
-C(O)N(R^{16a})₂ substituents;

R^{16a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{16b};

R^{16b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two
5 independently selected -F, -Cl, -Br, -I, -OH, -OR^{16c}, =O, -NH₂, -NHR^{16c}, -N(R^{16c})₂, or R^{16d} substituents;

R^{16c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl; and

R^{16d} is phenyl, furanyl, imidazolyl, isothiazolyl,
10 isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl.

2. A compound of claim 1 having formula (I), or a
15 salt thereof, in which R¹ is -OH, -OR⁵, -NH₂, -NHR⁵, or -N(R⁵)₂;

R² is hydrogen, tert-butyl, -O(allyl), (4-methoxyphenyl)methyl, or (2,4-dimethoxyphenyl)methyl;

R³ and R⁴ together are thiazole or pyrimidine, each of
20 which is substituted with one or two independently selected R⁶, R⁹, R¹⁰, R¹¹, -OR⁶, -OR⁹, -O(CH₂)R¹⁰, -O(CH₂)R¹¹, -SR⁶, -SR⁹, -S(CH₂)R¹⁰, -S(CH₂)R¹¹, -S(O)R⁶, -S(O)R⁹, -S(O)(CH₂)R¹⁰, -S(O)(CH₂)R¹¹, -SO₂R⁶, -SO₂R⁹, -SO₂(CH₂)R¹⁰, -SO₂(CH₂)R¹¹, -CO(O)R⁶, -CO(O)R⁹, -C(O)OR¹⁰, -C(O)OR¹¹, -NH₂, -NHR⁶, -NHR⁹,
25 -NHR¹⁰, -NHR¹¹, -NHC(O)R⁶, -NHC(O)R⁹, -NHC(O)R¹⁰, -NHC(O)R¹¹, -NHC(O)OR⁶, -NHC(O)OR⁹, -NHC(O)OR¹⁰, -NHC(O)OR¹¹, -NH₂SO₂R⁶, -NH₂SO₂R⁹, -NH₂SO₂R¹⁰, -NH₂SO₂R¹¹, -N(R⁶)₂, -N(R⁹)₂, -N(R¹⁰)₂, or -N(R¹¹)₂ substituents;

R⁵ is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
30 or C₆-alkyl;

R⁶ is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is unsubstituted or substituted with one -F, -Cl, -Br, -I, -OH, -OR^{6a}, -NH₂, -NHR^{6a}, -N(R^{6a})₂, R¹², R¹³, or R¹⁴ substituent;

5 R^{6a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{6b};

R^{6b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{6c},
10 -NH₂, -NHR^{6c}, or -N(R^{6c})₂ substituents;

R^{6c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R⁹ is phenyl which is unsubstituted or substituted with one or two or three or four independently selected R^{9a}, -F,
15 -Cl, -Br, -I, -CN, -OH, -OR^{9a}, -NH₂, -NHR^{9a}, -N(R^{9a})₂, -NO₂, -CF₃, -OCF₃, -SR^{9a}, -S(O)R^{9a}, -SO₂R^{9a}, -C(O)R^{9a}, -C(O)OH, -C(O)OR^{9a}, -C(O)NH₂, -C(O)NHR^{9a}, -C(O)N(R^{9a})₂, R¹⁵, or R¹⁶ substituents;

R^{9a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
20 or C₆-alkyl, or R^{9b};

R^{9b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{9c},
-NH₂, -NHR^{9c}, or -N(R^{9c})₂ substituents;

25 R^{9c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R¹⁰ is furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraäzolyl, thiazolyl, thiophenyl,
30 or 1,2,3-triazolyl, each of which is unsubstituted or

substituted with one or two or three independently selected R^{10a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{10a}, -NH₂, -NHR^{10a}, -N(R^{10a})₂, -NO₂, -CF₃, -OCF₃, -SR^{10a}, -S(O)R^{10a}, -SO₂R¹⁰, -C(O)R^{10a}, -C(O)OH, -C(O)OR^{10a}, -C(O)NH₂, -C(O)NHR^{10a},
5 -C(O)N(R^{10a})₂, R¹⁵, or R¹⁶ substituents;
 R^{10a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{10b};
 R^{10b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two
10 independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{10c}, -NH₂, -NHR^{10c}, or -N(R^{10c})₂ substituents;
 R^{10c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;
 R^{11} is aziridinyl, azetidiny, pyrrolidinyl,
15 piperidinyl, or piperazinyl, each of which is unfused or fused with benzene, aziridine, azetidine, pyrrolidine, piperidine, or piperazine, each of which is unsubstituted or substituted with one or two or three independently selected
 R^{11a} , -F, -Cl, -Br, -I, -CN, -OH, =O, -OR^{11a}, -NH₂, -NHR^{11a},
20 -N(R^{11a})₂, -NO₂, -CF₃, -OCF₃, -SR^{11a}, -S(O)R^{11a}, -SO₂R^{11a}, -C(O)R^{11a}, -C(O)OH, -C(O)OR^{11a}, -C(O)NH₂, -C(O)NHR^{11a},
-C(O)N(R^{11a})₂, R¹⁵, or R¹⁶ substituents;
 R^{11a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{11b};
25 R^{11b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{11c}, -NH₂, -NHR^{11c}, or -N(R^{11c})₂ substituents;
 R^{11c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
30 or C₆-alkyl;

R^{12} is phenyl which is unsubstituted or substituted with one or two or three or four independently selected R^{12a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{12a}, -NH₂, -NHR^{12a}, -N(R^{12a})₂, -NO₂, -CF₃, -OCF₃, -SR^{12a}, -S(O)R^{12a}, -SO₂R^{12a},
5 -C(O)R^{12a}, -C(O)OH, -C(O)OR^{12a}, -C(O)NH₂, -C(O)NHR^{12a}, -C(O)N(R^{12a})₂, R¹⁵, or R¹⁶ substituents;

R^{12a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, or R^{12b};

R^{12b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
10 or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{12c}, -NH₂, -NHR^{12c}, or -N(R^{12c})₂ substituents;

R^{12c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

15 R^{13} is furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl, pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl, each of which is unsubstituted or substituted with one or two or three independently selected
20 R^{13a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{13a}, -NH₂, -NHR^{13a}, -N(R^{13a})₂, -NO₂, -CF₃, -OCF₃, -SR^{13a}, -S(O)R^{13a}, -SO₂R^{13a}, -C(O)R^{13a}, -C(O)OH, -C(O)OR^{13a}, -C(O)NH₂, -C(O)NHR^{13a}, -C(O)N(R^{13a})₂, R¹⁵, or R¹⁶ substituents;

R^{13a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
25 C₆-alkyl, or R^{13b};

R^{13b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{13c}, -NH₂, -NHR^{13c}, or -N(R^{13c})₂ substituents;

R^{13c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R^{14} is aziridinyl, azetidiny, pyrrolidinyl, piperidinyl, or piperazinyl, each of which is unsubstituted or substituted with one or two or three independently selected R^{14a} , -F, -Cl, -Br, -I, -CN, -OH, =O, -OR^{14a}, -NH₂, -NHR^{14a}, -N(R^{14a})₂, -NO₂, -CF₃, -OCF₃, -SR^{14a}, -S(O)R^{14a}, -SO₂R^{14a}, -C(O)R^{14a}, -C(O)OH, -C(O)OR^{14a}, -C(O)NH₂, -C(O)NHR^{14a}, -C(O)N(R^{14a})₂, R^{16} , or R^{17} substituents;

10 R^{14a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{14b} ;

R^{14b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{14c}, -NH₂, -NHR^{14c}, or -N(R^{14c})₂, substituents;

15 R^{14c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R^{15} is phenyl which is unsubstituted or substituted with one or two or three or four independently selected R^{15a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{15a}, -NH₂, -NHR^{15a}, -N(R^{15a})₂, -NO₂, -CF₃, -OCF₃, -SR^{15a}, -S(O)R^{15a}, -SO₂R^{15a}, -C(O)R^{15a}, -C(O)OH, -C(O)OR^{15a}, -C(O)NH₂, -C(O)NHR^{15a}, or -C(O)N(R^{15a})₂ substituents;

20 R^{15a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{15b} ;

R^{15b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{15c}, -NH₂, -NHR^{15c}, or -N(R^{15c})₂ substituents;

R^{15c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl;

R¹⁶ is furanyl, imidazolyl, isothiazolyl, isoxazolyl, oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl,
5 pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl, or 1,2,3-triazolyl, each of which is unsubstituted or substituted with one or two or three independently selected R^{16a}, -F, -Cl, -Br, -I, -CN, -OH, -OR^{16a}, -NH₂, -NHR^{16a},
-N(R^{16a})₂, -NO₂, -CF₃, -OCF₃, -SR^{16a}, -S(O)R^{16a}, -SO₂R^{16a},
10 -C(O)R^{16a}, -C(O)OH, -C(O)OR^{16a}, -C(O)NH₂, -C(O)NHR^{16a}, or -C(O)N(R^{16a})₂ substituents;

R^{16a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, C₆-alkyl, or R^{16b};

R^{16b} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
15 or C₆-alkyl, each of which is substituted with one or two independently selected -F, -Cl, -Br, -I, -OH, -OR^{16c}, =O, -NH₂, -NHR^{16c}, or -N(R^{16c})₂ substituents; and

R^{16c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl, or C₆-alkyl.

20

3. A compound of claim 2 having formula (I), or a salt thereof, in which R¹ is -OH, -OR⁵, -NH₂, -NHR⁵, or -N(R⁵)₂;

R² is hydrogen, tert-butyl, -O(allyl),
25 (4-methoxyphenyl)methyl, or (2,4-dimethoxyphenyl)methyl;

R³ and R⁴ together are thiazole or pyrimidine, each of which is substituted with one or two independently selected R⁶, R⁹, R¹¹, -OR⁶, -OR⁹, -O(CH₂)R¹¹, -SR⁶, -SR⁹, -S(CH₂)R¹¹,
-S(O)R⁶, -S(O)R⁹, -S(O)(CH₂)R¹¹, -SO₂R⁶, -SO₂R⁹, -SO₂(CH₂)R¹¹,
30 -CO(O)R⁶, -CO(O)R⁹, -C(O)OR¹¹, -NH₂, -NHR⁶, -NHR⁹, -NHR¹¹,

$-\text{NHC}(\text{O})\text{R}^6$, $-\text{NHC}(\text{O})\text{R}^9$, $-\text{NHC}(\text{O})\text{R}^{11}$, $-\text{NHC}(\text{O})\text{OR}^6$, $-\text{NHC}(\text{O})\text{OR}^9$,
 $-\text{NHC}(\text{O})\text{OR}^{11}$, $-\text{NHSO}_2\text{R}^6$, $-\text{NHSO}_2\text{R}^9$, $-\text{NHSO}_2\text{R}^{11}$, $-\text{N}(\text{R}^6)_2$, $-\text{N}(\text{R}^9)_2$,
or $-\text{N}(\text{R}^{11})_2$ substituents;

R^5 is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl,
5 or C_6 -alkyl;

R^6 is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl,
or C_6 -alkyl, each of which is unsubstituted or substituted
with one $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{OH}$, $-\text{OR}^{6a}$, $-\text{NH}_2$, $-\text{NHR}^{6a}$, $-\text{N}(\text{R}^{6a})_2$,
 R^{12} , R^{13} , or R^{14} substituent;

10 R^{6a} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl,
or C_6 -alkyl;

R^9 is phenyl which is unsubstituted or substituted with
one or two or three or four independently selected R^{9a} , $-\text{F}$,
 $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{CN}$, $-\text{OH}$, $-\text{OR}^{9a}$, $-\text{NH}_2$, $-\text{NHR}^{9a}$, $-\text{N}(\text{R}^{9a})_2$, $-\text{NO}_2$,
15 $-\text{CF}_3$, $-\text{OCF}_3$, $-\text{SR}^{9a}$, $-\text{S}(\text{O})\text{R}^{9a}$, $-\text{SO}_2\text{R}^{9a}$, $-\text{C}(\text{O})\text{R}^{9a}$, $-\text{C}(\text{O})\text{OH}$,
 $-\text{C}(\text{O})\text{OR}^{9a}$, $-\text{C}(\text{O})\text{NH}_2$, $-\text{C}(\text{O})\text{NHR}^{9a}$, $-\text{C}(\text{O})\text{N}(\text{R}^{9a})_2$, R^{15} , or R^{16}
substituents;

R^{9a} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl,
or C_6 -alkyl;

20 R^{10} is furanyl, imidazolyl, isothiazolyl, isoxazolyl,
oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl,
pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl,
or 1,2,3-triazolyl, each of which is unsubstituted or
substituted with one or two or three independently selected
25 R^{10a} , $-\text{F}$, $-\text{Cl}$, $-\text{Br}$, $-\text{I}$, $-\text{CN}$, $-\text{OH}$, $-\text{OR}^{10a}$, $-\text{NH}_2$, $-\text{NHR}^{10a}$,
 $-\text{N}(\text{R}^{10a})_2$, $-\text{NO}_2$, $-\text{CF}_3$, $-\text{OCF}_3$, $-\text{SR}^{10a}$, $-\text{S}(\text{O})\text{R}^{10a}$, $-\text{SO}_2\text{R}^{10}$,
 $-\text{C}(\text{O})\text{R}^{10a}$, $-\text{C}(\text{O})\text{OH}$, $-\text{C}(\text{O})\text{OR}^{10a}$, $-\text{C}(\text{O})\text{NH}_2$, $-\text{C}(\text{O})\text{NHR}^{10a}$,
 $-\text{C}(\text{O})\text{N}(\text{R}^{10a})_2$, R^{15} , or R^{16} substituents;

R^{10a} is C_1 -alkyl, C_2 -alkyl, C_3 -alkyl, C_4 -alkyl, C_5 -alkyl,
30 or C_6 -alkyl;

R^{11} is aziridinyl, azetidiny, pyrrolidinyl,
 piperidinyl, or piperazinyl, each of which is unfused or
 fused with benzene, aziridine, azetidine, pyrrolidine,
 piperidine, or piperazine, in which each ring is
 5 unsubstituted or substituted with one or two or three
 independently selected R^{11a} , -F, -Cl, -Br, -I, -CN, -OH, =O,
 -OR^{11a}, -NH₂, -NHR^{11a}, -N(R^{11a})₂, -NO₂, -CF₃, -OCF₃, -SR^{11a},
 -S(O)R^{11a}, -SO₂R^{11a}, -C(O)R^{11a}, -C(O)OH, -C(O)OR^{11a}, -C(O)NH₂,
 -C(O)NHR^{11a}, -C(O)N(R^{11a})₂, R^{15} , or R^{16} substituents;
 10 R^{11a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
 C₆-alkyl, or R^{11b} ;
 R^{11B} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
 or C₆-alkyl, each of which is substituted with one or two
 independently selected -F, -Cl, -Br, -I, -OH, =O, -OR^{11c},
 15 -NH₂, -NHR^{11c}, or -N(R^{11c})₂, substituents;
 R^{11c} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
 or C₆-alkyl;
 R^{12} is phenyl which is unsubstituted or substituted
 with one or two or three or four independently selected
 20 R^{12a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{12a}, -NH₂, -NHR^{12a},
 -N(R^{12a})₂, -NO₂, -CF₃, -OCF₃, -SR^{12a}, -S(O)R^{12a}, -SO₂R^{12a},
 -C(O)R^{12a}, -C(O)OH, -C(O)OR^{12a}, -C(O)NH₂, -C(O)NHR^{12a},
 -C(O)N(R^{12a})₂, R^{15} , or R^{16} substituents;
 R^{12a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
 25 or C₆-alkyl;
 R^{13} is furanyl, imidazolyl, isothiazolyl, isoxazolyl,
 oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl,
 pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl,
 or 1,2,3-triazolyl, each of which is unsubstituted or
 30 substituted with one or two or three independently selected

R^{13a} , -F, -Cl, -Br, -I, -CN, -OH, -OR^{13a}, -NH₂, -NHR^{13a},
-N(R^{13a})₂, -NO₂, -CF₃, -OCF₃, -SR^{13a}, -S(O)R^{13a}, -SO₂R^{13a},
-C(O)R^{13a}, -C(O)OH, -C(O)OR^{13a}, -C(O)NH₂, -C(O)NHR^{13a},
-C(O)N(R^{13a})₂, R¹⁵, or R¹⁶ substituents;

5 R^{13a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl;

 R¹⁴ is aziridinyl, azetidiny, pyrrolidinyl,
piperidinyl, or piperazinyl, each of which is unsubstituted
or substituted with one or two or three independently
10 selected R^{14a}, -F, -Cl, -Br, -I, -CN, -OH, =O, -OR^{14a}, -NH₂,
-NHR^{14a}, -N(R^{14a})₂, -NO₂, -CF₃, -OCF₃, -SR^{14a}, -S(O)R^{14a},
-SO₂R^{14a}, -C(O)R^{14a}, -C(O)OH, -C(O)OR^{14a}, -C(O)NH₂,
-C(O)NHR^{14a}, -C(O)N(R^{14a})₂, R¹⁶, or R¹⁷ substituents;

 R^{14a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
15 or C₆-alkyl;

 R¹⁵ is phenyl which is unsubstituted or substituted
with one or two or three or four independently selected
R^{15a}, -F, -Cl, -Br, -I, -CN, -OH, -OR^{15a}, -NH₂, -NHR^{15a},
-N(R^{15a})₂, -NO₂, -CF₃, -OCF₃, -SR^{15a}, -S(O)R^{15a}, -SO₂R^{15a},
20 -C(O)R^{15a}, -C(O)OH, -C(O)OR^{15a}, -C(O)NH₂, -C(O)NHR^{15a}, or
-C(O)N(R^{15a})₂ substituents;

 R^{15a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl;

 R¹⁶ is furanyl, imidazolyl, isothiazolyl, isoxazolyl,
25 oxazolyl, pyrazinyl, pyrazolyl, pyridazinyl, pyridyl,
pyrimidinyl, pyrrolyl, tetraazolyl, thiazolyl, thiophenyl,
or 1,2,3-triazolyl, each of which is unsubstituted or
substituted with one or two or three independently selected
R^{16a}, -F, -Cl, -Br, -I, -CN, -OH, -OR^{16a}, -NH₂, -NHR^{16a},
30 -N(R^{16a})₂, -NO₂, -CF₃, -OCF₃, -SR^{16a}, -S(O)R^{16a}, -SO₂R^{16a},

-C(O)R^{16a}, -C(O)OH, -C(O)OR^{16a}, -C(O)NH₂, -C(O)NHR^{16a}, or
-C(O)N(R^{16a})₂ substituents; and

R^{16a} is C₁-alkyl, C₂-alkyl, C₃-alkyl, C₄-alkyl, C₅-alkyl,
or C₆-alkyl.

5

4. A compound of claim 1 having formula (I), or a
salt thereof, in which R¹ is -OH or -O(ethyl); R² is
hydrogen; and R³ and R⁴ together are 2-(3-aminopyrrolidin-1-
yl)-4-amino[2,3-d]pyrimidino, 2-(3-aminopyrrolidin-1-
10 yl)[2,3-d]pyrimidino, 2-(azetidin-1-yl)-4-amino[2,3-
d]pyrimidine, or 2-(azetidin-1-yl)[2,3-d]pyrimidino.

5. A compound of claim 1 having formula (I), or a
salt thereof, in which R¹ is -OH or -O(ethyl); R² is
15 hydrogen; and R³ and R⁴ together are 2-(1-benzylhexahydro-
pyrrolo[3,4-b]pyrrol-5(1H)-yl)-4-amino[2,3-d]pyrimidino,
2-(pyrrolidin-1-yl)-4-amino[2,3-d]pyrimidino, or
2-(pyrrolidin-1-yl)[2,3-d]pyrimidino.

20 6. A compound of claim 1 having formula (I), or a
salt thereof, in which R¹ is -OH or -O(ethyl); R² is
hydrogen; and R³ and R⁴ together are 2-(aminomethyl)-
piperidin-1-yl[1,3]thiazolo, 2-(amino-methyl)pyrrolidin-1-
yl[1,3]thiazolo, 3-aminopiperidin-1-yl[1,3]thiazolo,
25 4-bromo-3-methyl-anilino[1,3]thiazolo,
4-(2-chlorophenyl)piperazin-1-yl[1,3]thiazolo,
((3,4-dimethoxyphenyl)ethyl)(methyl)amino[1,3]thiazolo,
2-fluoro-4-methylanilino[1,3]thiazolo, or
3-fluoro-4-methylanilino[1,3]thiazolo.

30

7. A compound of claim 1 having formula (I), or a
salt thereof, in which R¹ is -OH or -O(ethyl); R² is

hydrogen; and R³ and R⁴ together are together are
4-(2-fluorophenyl)piperazin-1-yl[1,3]thiazolo, 1H-indazol-4-
ylamino[1,3]thiazolo, methyl(2-phenylethyl)amino-
[1,3]thiazolo, 4-(methysulfanyl)anilino-[1,3]thiazolo,
5 4-propoxyanilino[1,3]thiazolo, or
pyrrolidin-1-yl[1,3]thiazolo.

8. A composition for treating bacterial infection in
a fish or a mammal, the composition comprising a
10 therapeutically effective amount of a compound of claim 1
and an excipient.

9. A method for treating bacterial infections in a
fish or a mammal, the method comprising administering
15 thereto a therapeutically effective amount of a compound of
claim 1.

10. A compound of claim 1 having formula (I), or a
salt thereof, which is
20 2-(3-(aminomethyl)pyrrolidin-1-yl)-4-(4-methoxy-
benzyl)-7-oxo-4,7-dihydro[1,3]thiazolo[4,5-b]pyridine-6-
carboxylic acid,
2-(3-aminopiperidin-1-yl)-7-oxo-4,7-
dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
25 2-(3-(aminomethyl)piperidin-1-yl)-7-oxo-4,7-
dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
2-(methyl(2-phenylethyl)amino)-7-oxo-4,7-
dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
2-((2-(3,4-dimethoxyphenyl)ethyl)(methyl)amino)-7-oxo-
30 4,7-dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
2-(4-(2-fluorophenyl)piperazin-1-yl)-7-oxo-4,7-
dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
2-(4-(2-chlorophenyl)piperazin-1-yl)-7-oxo-4,7-
dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,

2-(2-fluoro-4-methylanilino)-7-oxo-4,7-
 dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
 2-(3-fluoro-4-methylanilino)-7-oxo-4,7-
 dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid,
 5 2-(4-bromo-3-methylanilino)-7-oxo-4,7-
 dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid
 7-oxo-2-(4-propoxyanilino)-4,7-
 dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid
 2-(4-(methylsulfanyl)anilino)-7-oxo-4,7-
 10 dihydro[1,3]thiazolo[4,5-b]pyridine-6-carboxylic acid
 2-(pyrrolidin-1-yl)-5-oxo-5,8-dihydro[2,3-d]-
 pyrimidinopyridine-6-carboxylic acid,
 2-(azetidin-1-yl)-5-oxo-5,8-dihydro[2,3-d]-
 pyrimidinopyridine-6-carboxylic acid
 15 2-(3-aminopyrrolidin-1-yl)-5-oxo-5,8-
 dihydro[2,3-d]pyrimidinopyridine-6-carboxylic acid,
 4-amino-5-oxo-2-(pyrrolidin-1-yl)-5,8-
 dihydro[2,3-d]pyrimidinopyridine-6-carboxylic acid,
 2-(azetidin-1-yl)-4-amino-5-oxo-5,8-
 20 dihydro[2,3-d]pyrimidinopyridine-6-carboxylic acid,
 ethyl 2-(3-aminopyrrolidin-1-yl)-4-amino-5-oxo-5,8-
 dihydro[2,3-d]pyrimidinopyridine-6-carboxylate,
 2-(3-aminopyrrolidin-1-yl)-4-amino-5-oxo-5,8-
 dihydro[2,3-d]pyrimidinopyridine-6-carboxylic acid, or
 25 2-(1-benzylhexahydropyrrolo[3,4-b]pyrrol-5(1H)-yl)-4-
 amino-5-oxo-5,8-dihydropyrido[2,3-d]pyrimidine-6-carboxylic
 acid.